PCT/EP2003/002489

Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference C37296PC	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/EP2003/002489	International filing date (*day/month/year*) 11 March 2003 (11.03.2003)	Priority date (*day/month/year*) 11 March 2002 (11.03.2002)
International Patent Classification (IPC) or national classification and IPC C07C 233/00		
Applicant CURACYTE AG		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

☒ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of 13 sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
27 August 2003 (27.08.2003)	02 July 2004 (02.07.2004)
Name and mailing address of the IPEA/EP Facsimile No.	Authorized officer Telephone No.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.
PCT/EP2003/002489

I. Basis of the report

1. With regard to the elements of the international application:*

☐ the international application as originally filed

☒ the description:

pages 1-48, as originally filed

pages _____, filed with the demand

pages _____, filed with the letter of _____

☒ the claims:

pages _____, as originally filed

pages _____, as amended (together with any statement under Article 19

pages _____, filed with the demand

pages 1-20, filed with the letter of 19 February 2004 (19.02.2004)

☐ the drawings:

pages _____, as originally filed

pages _____, filed with the demand

pages _____, filed with the letter of _____

☐ the sequence listing part of the description:

pages _____, as originally filed

pages _____, filed with the demand

pages _____, filed with the letter of _____

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.
These elements were available or furnished to this Authority in the following language _____ which is:

☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).

☐ the language of publication of the international application (under Rule 48.3(b)).

☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/fig _____

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* *Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).*

** *Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.*

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.
PCT/EP2003/002489

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 19, 20

because:

☒ the said international application, or the said claims Nos. 19, 20 relate to the following subject matter which does not require an international preliminary examination *(specify)*:

See supplemental box

☐ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. _____ are so unclear that no meaningful opinion could be formed *(specify)*:

☐ the claims, or said claims Nos. _____ are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for said claims Nos. _____.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the standard.

☐ the computer readable form has not been furnished or does not comply with the standard.

Supplemental Box
(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: III.1

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claims 19 and 20 relate to subject matter which this Authority considers to fall under PCT Rule 67.1(iv). Consequently, no opinion is established with regard to the industrial applicability of the subject matter of these claims (PCT Article 34(4)(a)(i)).

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.
PCT/EP 03/02489

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	11-13	YES
	Claims	1-10, 14-20	NO
Inventive step (IS)	Claims	11-13	YES
	Claims	1-10, 14-20	NO
Industrial applicability (IA)	Claims	1-18	YES
	Claims		NO

2. Citations and explanations

Reference is made to the following document:

D1: DE-A-100 29 014.

Novelty

Document **D1** is considered to be the closest prior art for the subject matter of claims 1 to 20. D1 discloses urokinase inhibitors wherein the group corresponding to R_5 represents, *inter alia*, H or a sulfonyl radical $-SO_2-R$, wherein R can be a substituted or unsubstituted aralkyl radical, and the group corresponding to R_2 can be $(CH_2)_n-OH$. The compounds are suitable for the treatment and diagnosis of tumours (see claim 1).

The compounds in claims 1 and 20 have formulae which are represented in Markush format. A selection of several types of compounds, some non-specific, for a radical from lists of possibilities disclosed in D1 cannot be considered novel within the meaning of PCT Article 33(2). Restriction to compounds wherein the radical R_5 contains a (substituted) benzyl radical could be considered novel if at least one polar group were present in the benzyl radical or in R_2 (see the compounds as per claim 11).

Consequently, the subject matter of claims 1 to 10 and 14 to 20 does not comply with the requirements of PCT Article 33(2).

In the compounds as per claim 11, at least either one hydrogen in the benzyl radical is substituted by a polar group or the radical R_2 is defined by a polar group.

A combination of this kind with a benzyl group as a specific radical is not to be found in document D1 and is therefore novel.

For the individual compounds in claims 12 to 13, at least two substituents are selected from two lists of alternatives disclosed for various substituents, for which novelty is acknowledged.

The subject matter of claims 11 to 13 is therefore novel (PCT Article 33(2)).

Inventive step

The problem addressed by the subject matter of claims 11 to 13 can therefore be considered that of providing further urokinase inhibitors for treatment and diagnosis.

The solution to this problem as proposed in claims 11 to 13 of the present application involves an inventive step (PCT Article 33(3)), because the claimed compounds exhibit reduced elimination time together with high activity. A property of this kind is not to be found in the cited prior art and is therefore not obvious for a person skilled in the art.

Industrial applicability

The PCT Contracting States do not have uniform criteria for assessing the industrial applicability of claims 19 and 20 in their present form. Patentability may also depend on the wording of the claims. The EPO, for example, does not recognize the industrial applicability of claims to the medical use of a compound; it may, however, allow claims to the first medical application of a known compound or to the use of such a compound in the manufacture of a drug for a new medical application.